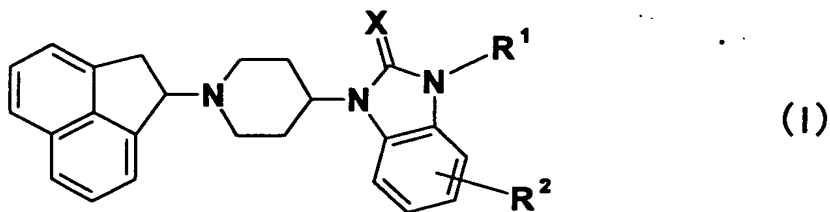


Claims

1. A preventive and/or therapeutic agent for a sleep disorder containing an ORL-1 receptor agonist.
- 5 2. A preventive and/or therapeutic agent for a sleep disorder comprising a therapeutically effective amount of an ORL-1 receptor agonist and pharmaceutically acceptable additives.
3. The preventive and/or therapeutic agent of claim 1 or 2,
10 wherein the sleep disorder is a circadian rhythm sleep disorder.
4. The preventive and/or therapeutic agent of claim 3, wherein the circadian rhythm sleep disorder is a jet-lag syndrome.
- 15 5. The preventive and/or therapeutic agent of claim 3, wherein the circadian rhythm sleep disorder is shift-work sleep disorder.
6. The preventive and/or therapeutic agent of claim 3, wherein the circadian rhythm sleep disorder is a delayed sleep phase
20 syndrome.
7. The preventive and/or therapeutic agent of claim 1 or 2, used for preventing and/or treating the symptoms involved in a geriatric circadian rhythm sleep disorder.
- 25 8. The preventive and/or therapeutic agent of claim 1 or 2, used for bright light therapy.
9. The preventive and/or therapeutic agent of claim 1 or 2,
30 wherein the ORL-1 receptor agonist has an affinity of 1000 nmol/L or less IC_{50} value for the ORL-1 receptor, and further inhibits cAMP elevation caused by a cAMP inducer by 50% or more at a concentration of 1000 nmol/L or less.

10. A compound represented by the formula (I)



wherein

R^1 is

- 5 (1) hydrogen,
- (2) lower alkyl,
- (3) lower alkenyl,
- (4) $-C(O)$ -lower alkyl,
- (5) $-C(O)O$ -lower alkyl,
- 10 (6) $-C(O)$ -phenyl (the phenyl group may be substituted with lower alkyl, halogen, lower alkoxy, phenoxy or benzyloxy),
- (7) lower alkyl-carboxyl,
- (8) lower alkyl- $C(O)$ -phenyl (the phenyl group may be substituted with lower alkyl, halogen, lower alkoxy, phenoxy or
- 15 benzyloxy),
- (9) lower alkyl- $C(O)O$ -lower alkyl,
- (10) lower alkenyl- $C(O)O$ -lower alkyl,
- (11) lower alkyl- O -lower alkyl,
- (12) lower alkyl- $C(O)NR^3R^4$,
- 20 (13) $-S(O)_2$ -lower alkyl,
- (14) $-S(O)_2$ -phenyl (the phenyl group may be substituted with lower alkyl, halogen, lower alkoxy, phenoxy or benzyloxy),
- (15) lower alkyl- S -lower alkyl,
- (16) lower alkyl- $S(O)$ -lower alkyl,
- 25 (17) lower alkyl- $S(O)_2$ -lower alkyl,
- (18) lower alkyl- $S(O)_2NR^3R^4$,
- (19) phenyl (the phenyl group may be substituted with lower alkyl, halogen, lower alkoxy, phenoxy or benzyloxy), or
- (20) benzyl (the phenyl group may be substituted with lower
- 30 alkyl, halogen, lower alkoxy, phenoxy or benzyloxy),

R² is hydrogen, lower alkyl, halogen, lower alkoxy, phenoxy,
benzyloxy, trifluoromethyl, nitro, amino or cyano,
R³ and R⁴

may be the same or different, and each is hydrogen, lower
alkyl or lower alkenyl, or R³ and R⁴ may bind with an
adjacent nitrogen atom to form a saturated nitrogen-
containing hetero ring (the hetero ring may be substituted
with lower alkyl, halogen, lower alkoxy, phenoxy or
benzyloxy), and

X is O or S.),
a racemic mixture thereof, an enantiomer corresponding thereto,
or a pharmaceutically acceptable salt thereof.

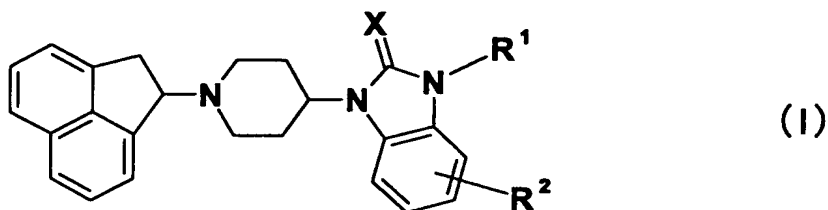
11. The compound of claim 10, wherein R² is hydrogen, and X is O.

12. The compound of claim 10, wherein R¹ is -C(O)-lower alkyl,
lower alkyl-C(O)NR³R⁴ (either R³ or R⁴ is hydrogen) or lower alkyl-
C(O)NR³R⁴ wherein R³ and R⁴ bind with an adjacent nitrogen atom to
form a saturated nitrogen-containing hetero ring (the hetero ring
may be substituted with lower alkyl, halogen, lower alkoxy,
phenoxy or benzyloxy).

13. The compound of claim 10, which is selected from
(RS)-1-[1-(acenaphthen-1-yl)piperidin-4-yl]-1,3-dihydro-2H-
benzoimidazol-2-one,
(R)-1-[1-(acenaphthen-1-yl)piperidin-4-yl]-1,3-dihydro-2H-
benzoimidazol-2-one,
(S)-1-[1-(acenaphthen-1-yl)piperidin-4-yl]-1,3-dihydro-2H-
benzoimidazol-2-one,
(R)-3-acetyl-1-[1-(acenaphthen-1-yl)piperidin-4-yl]-1,3-dihydro-
2H-benzoimidazol-2-one,
(R)-2-{3-[1-(acenaphthen-1-yl)piperidin-4-yl]-2,3-dihydro-2-oxo-
benzoimidazol-1-yl}-N-methylacetamide, and
(R)-1-[1-(acenaphthen-1-yl)piperidin-4-yl]-3-(2-oxo-2-piperazin-

1-ylethyl)-1,3-dihydro-2H-benzoimidazol-2-one.

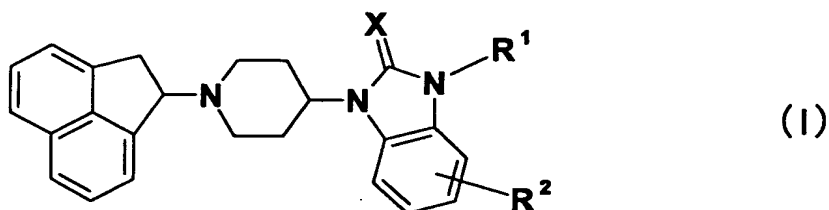
14. The preventive and/or therapeutic agent of claim 1 or 2,
wherein the ORL-1 receptor agonist is a compound represented by
5 the formula (I)



wherein each symbol is as defined above, a racemic mixture thereof, an enantiomer corresponding thereto, or a pharmaceutically acceptable salt thereof.

10

15. A method of preventing and/or treating a sleep disorder, comprising administering an effective amount of an ORL-1 receptor agonist to the patients.
- 15 16. The method of claim 15, wherein the ORL-1 receptor agonist has an affinity of 1000 nmol/L or less IC₅₀ value for ORL-1 receptor, and further inhibits cAMP elevation caused by a cAMP inducer by 50% or more at a concentration of 1000 nmol/L or less.
- 20 17. The method of claim 15, wherein the ORL-1 receptor agonist is a compound represented by the formula (I)

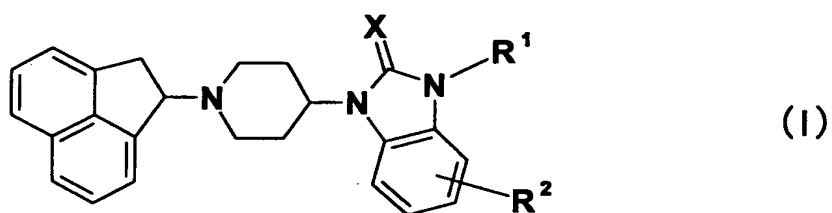


wherein each symbol is as defined above, a racemic mixture thereof, an enantiomer corresponding thereto, or a
25 pharmaceutically acceptable salt thereof.

18. Use of an ORL-1 receptor agonist for manufacturing a preventive and/or therapeutic agent for a sleep disorder.

19. The use of claim 18, wherein ORL-1 receptor agonist has an affinity of 1000 nmol/L or less IC_{50} value for ORL-1 receptor, and further inhibits cAMP elevation caused by a cAMP inducer by 50% or more at a concentration of 1000 nmol/L or less.

20. The use of claim 18, wherein ORL-1 receptor agonist is a compound represented by the formula (I)



wherein each symbol is as defined above, a racemic mixture thereof, an enantiomer corresponding thereto, or a pharmaceutically acceptable salt thereof.

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